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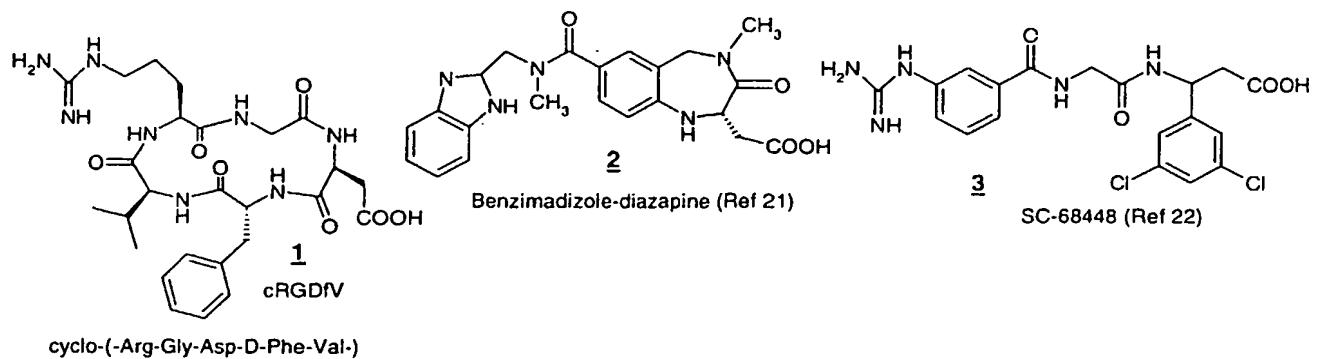


Fig. 1

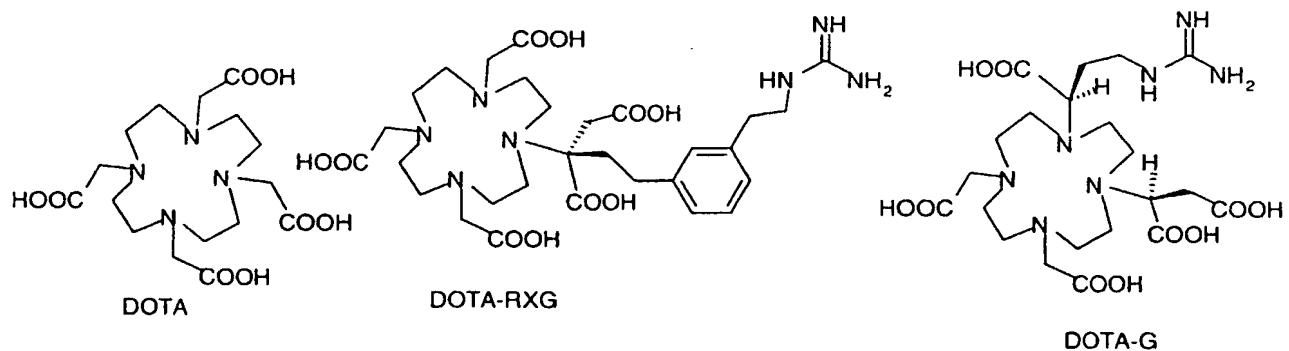
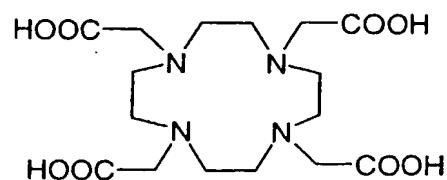


Fig. 2



DOTA

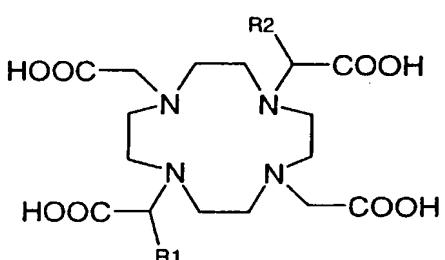
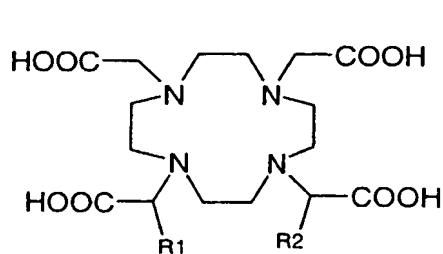
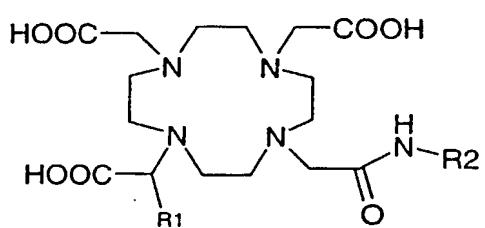
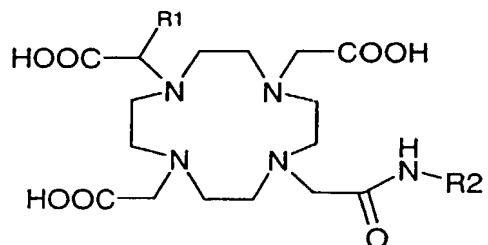
1,4-alpha substitution1,4-alpha substitution  
DO3A-Amides1,7-alpha substitution1,7-alpha substitution  
DO3A-Amides

Fig. 3

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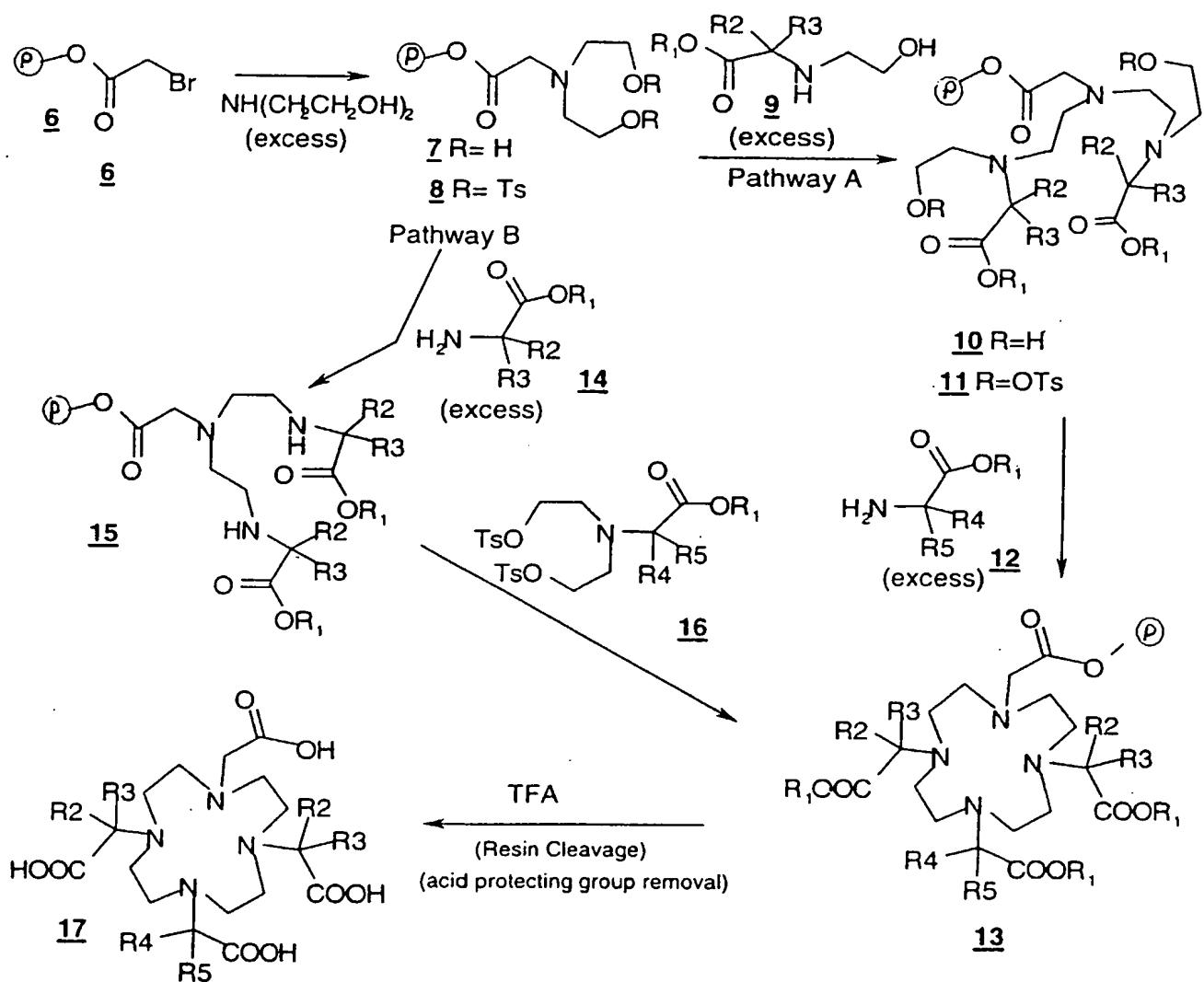


Fig. 4

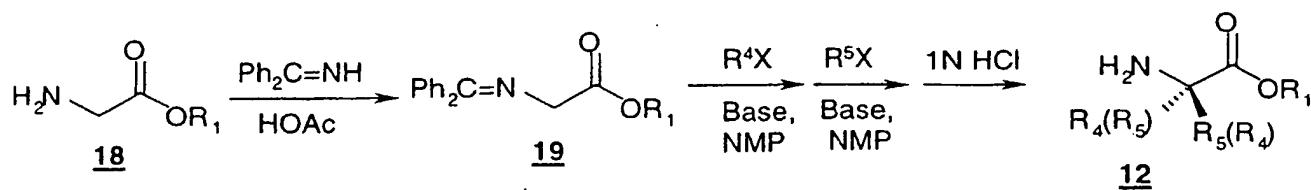


Fig. 5

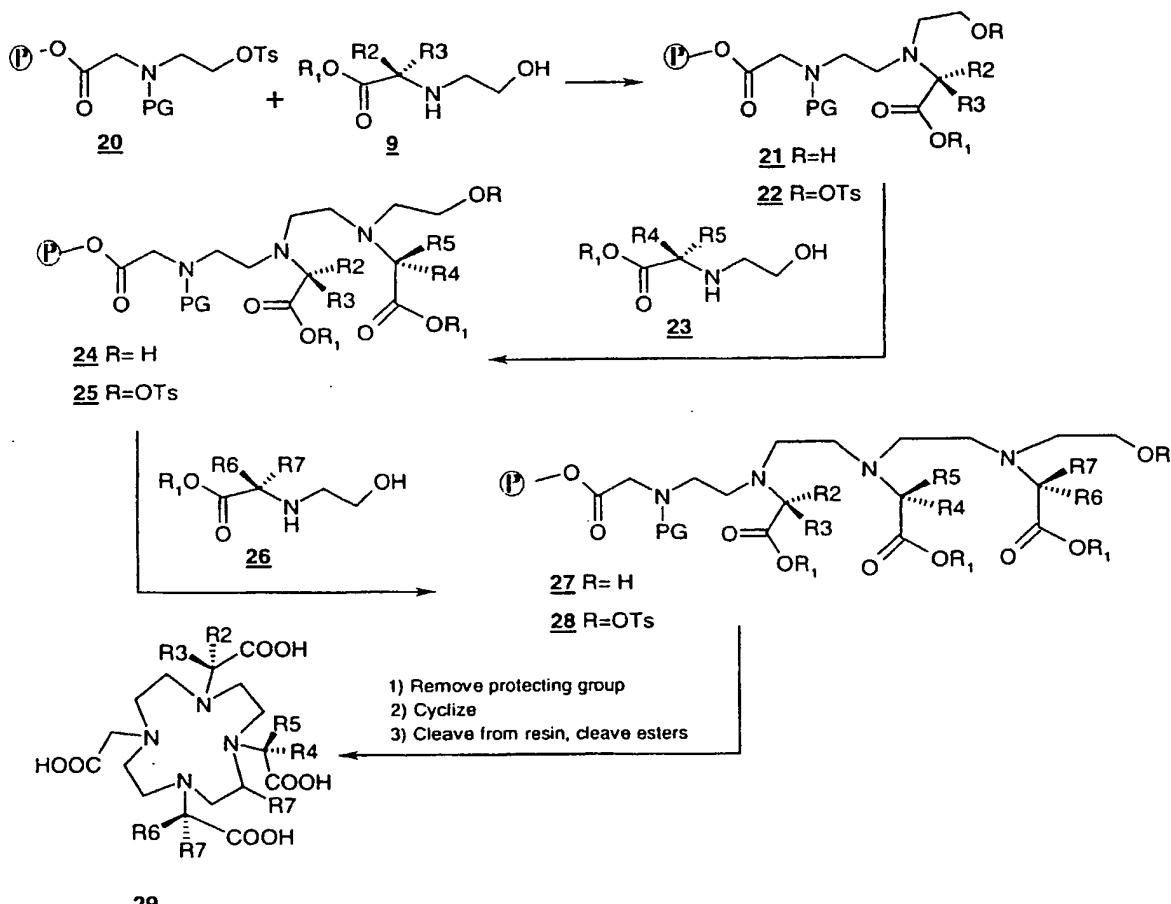
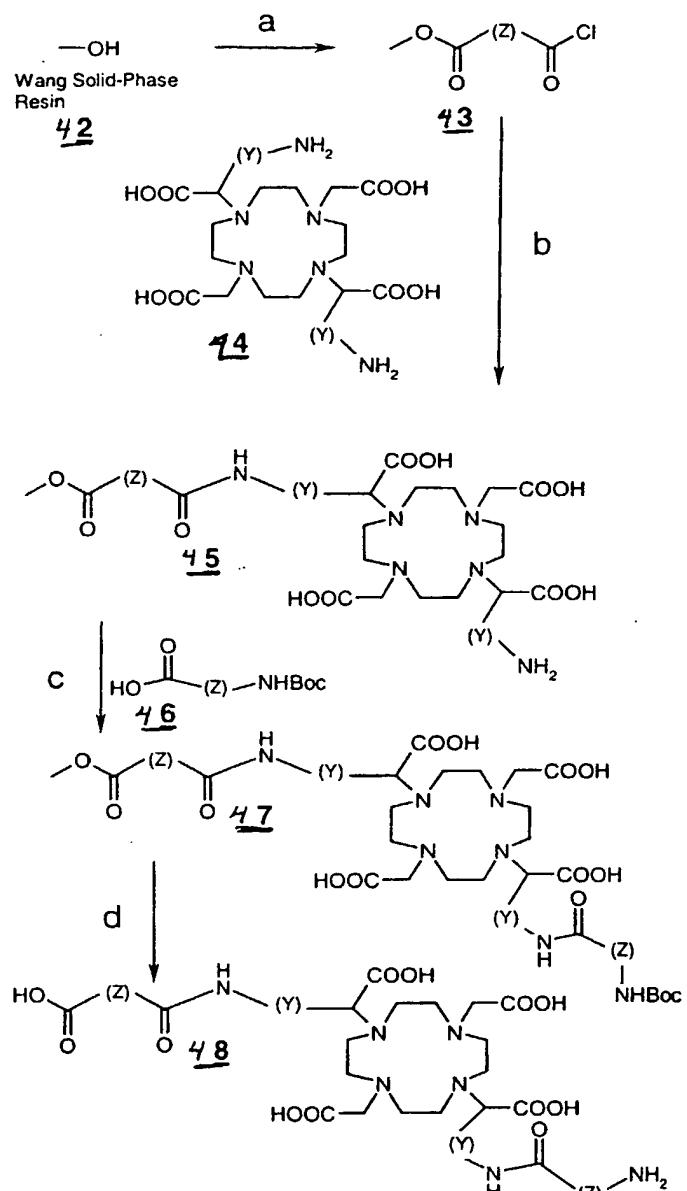


Fig. 6





a) symmetrical acid chloride, pyridine,  $\text{CH}_2\text{Cl}_2$ ;

b) DMF,  $\text{Et}_3\text{N}$ ;

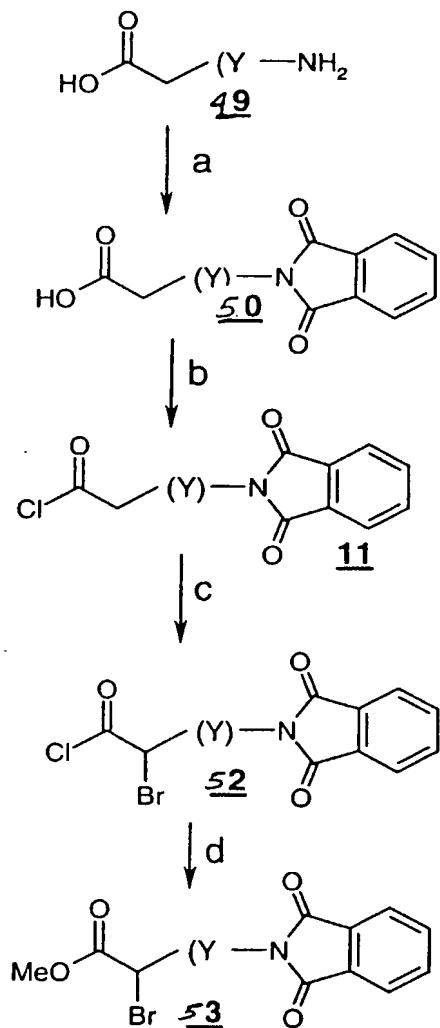
c) carbodiimide coupling or acid chloride;

d) Trifluoroacetic acid/ $\text{CH}_2\text{Cl}_2$  50/50

(Y)= 1,2,4 methylene units

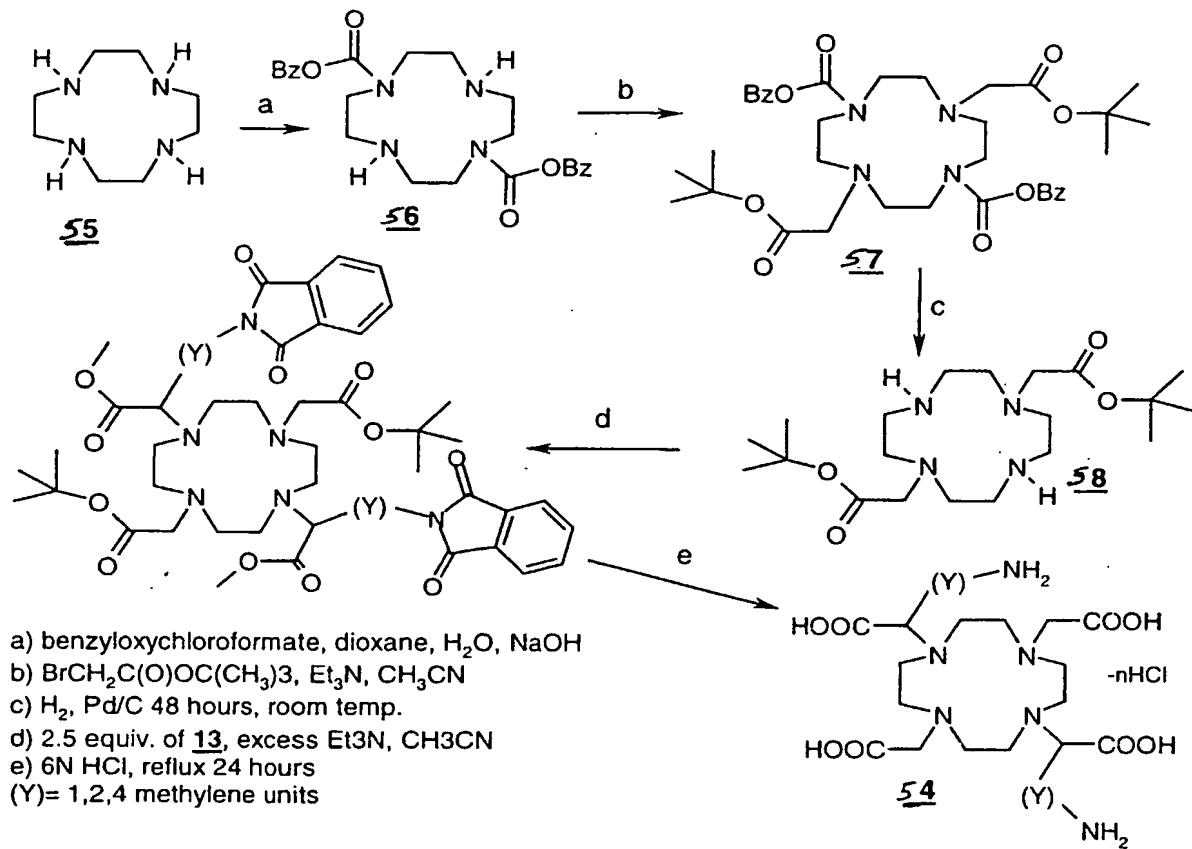
(Z)= variable spacer groups

**Fig. 9**



a) phthalic anhydride, toluene, reflux;  
 b) thionyl chloride, toluene, reflux;  
 c) N-Bromosuccinimide, CCl<sub>4</sub>, reflux;  
 d) quench in MeOH  
 (Y)= 1,2,4 methylene units

**Fig. 10**



**Fig. 11**

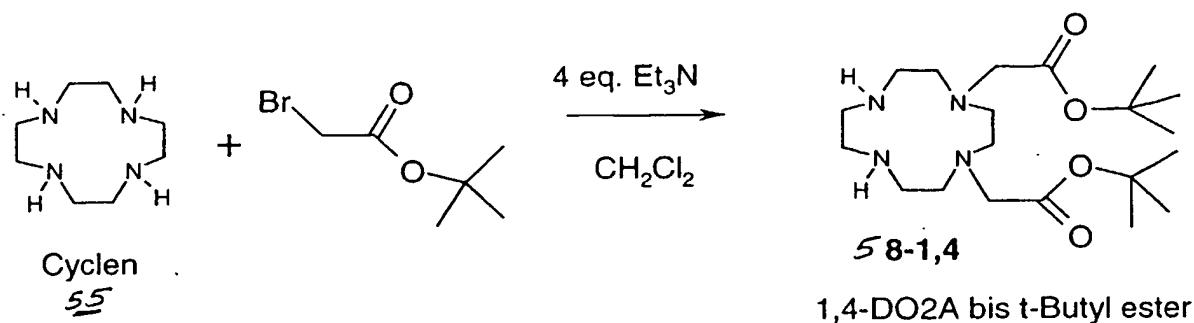


Fig. 12

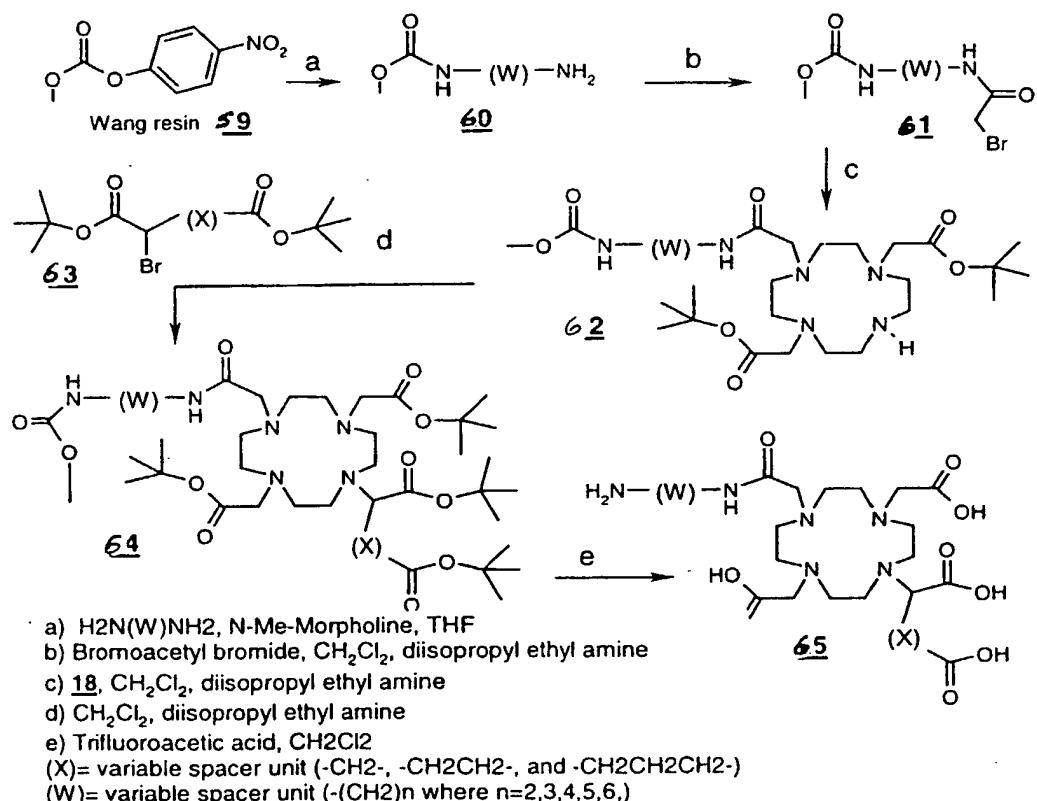
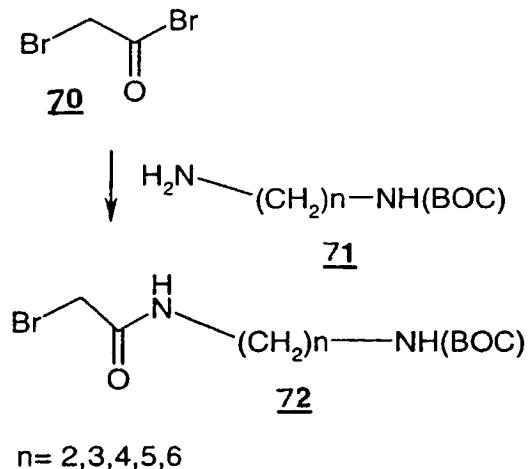
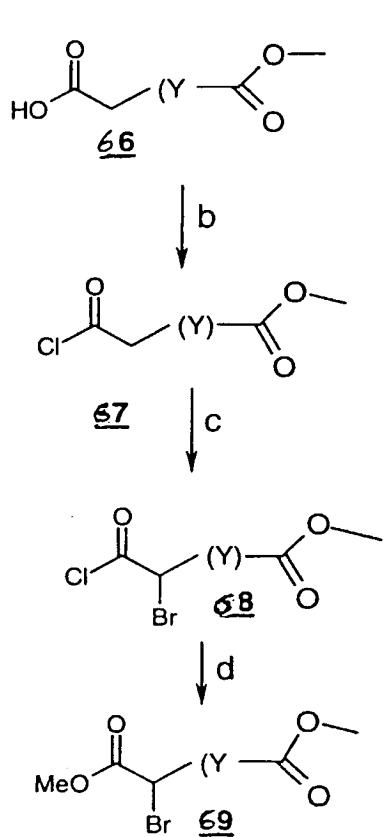


Fig. 13



b) thionyl chloride, toluene, reflux;  
 c) N-Bromosuccinimide, CCl4, reflux;  
 d) quench in MeOH  
 (Y) = 0 to 4 methylene units

Fig. 14

Fig. 15

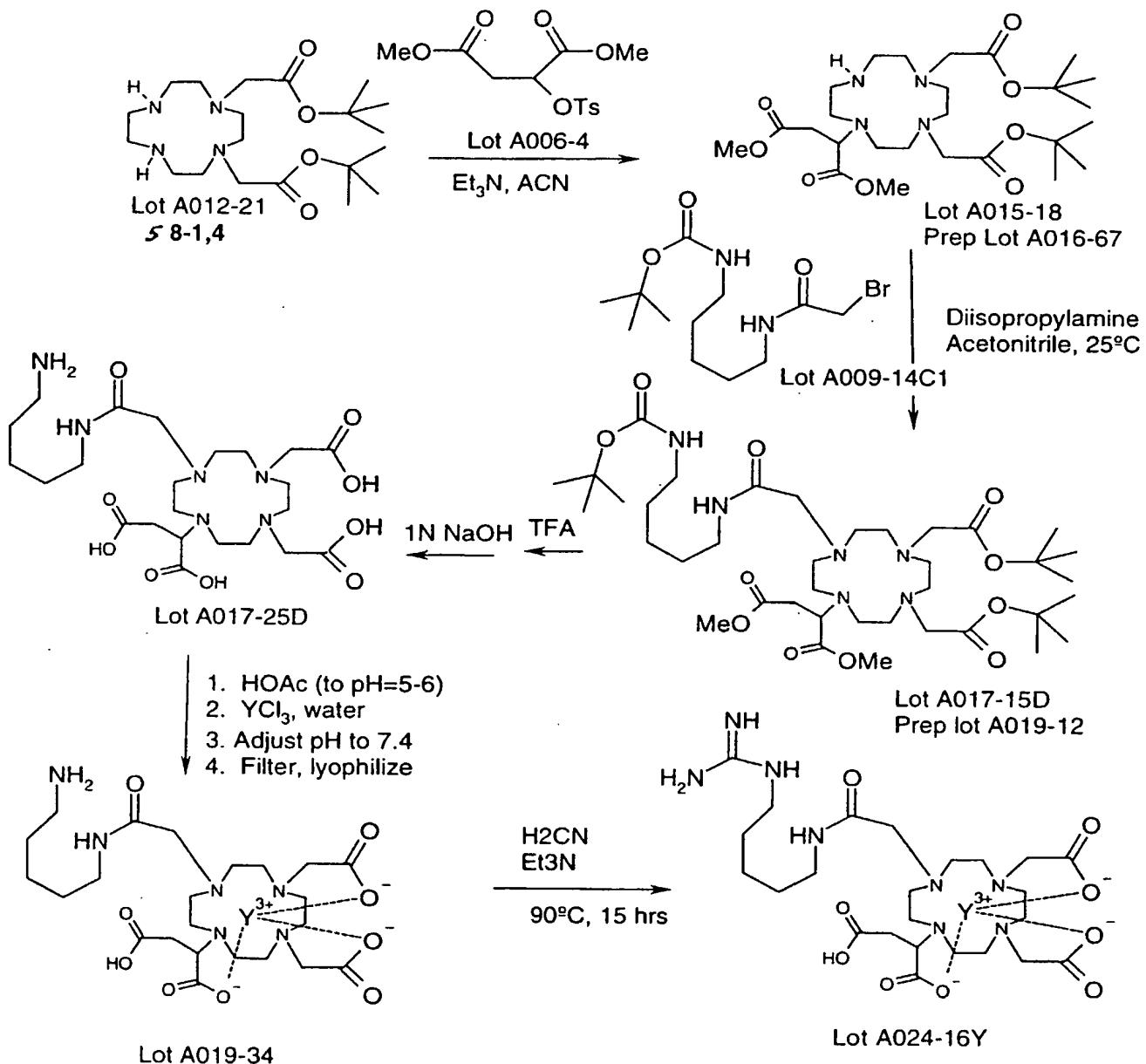
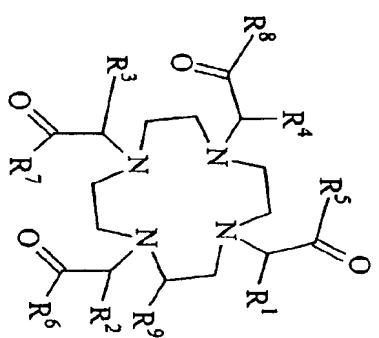
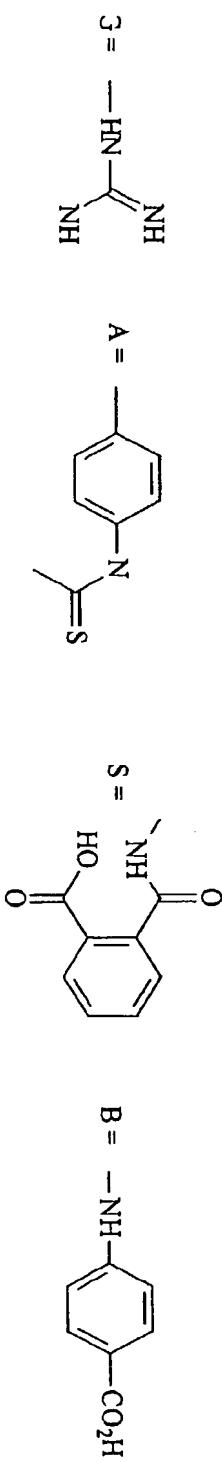


Fig. 16



DOTA Based Species								
DOTA Based Species	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	R <sup>8</sup>
A011-65C	CO <sub>2</sub> -CH <sub>3</sub>	H	H	OC <sub>2</sub> H <sub>5</sub>	OH	NH(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	-OH	H
A013-17	-(CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub>	H	H	-OH	-OH	OH	-OH	H
A017-79J	-(CH <sub>2</sub> ) <sub>2</sub> G	H	H	-OH	-OH	-OH	-OH	H
A017-80D	-(CH <sub>2</sub> ) <sub>2</sub> -G	H	-(CH <sub>2</sub> ) <sub>2</sub> -G	H	-OH	-OH	-OH	H
A017-80K	-(CH <sub>2</sub> ) <sub>4</sub> -G	H	-(CH <sub>2</sub> ) <sub>2</sub> -G	H	-OH	-OH	-OH	H
A007-26	H	-(CH <sub>2</sub> ) <sub>2</sub> -S	H	-NH(CH <sub>2</sub> ) <sub>6</sub> NH <sub>2</sub>	-OH	OH	-OH	H
A008-43	H	H	H	-OH	-OH	OH	-OH	NH <sub>2</sub>
A012-17	H	-(CH <sub>2</sub> ) <sub>2</sub> -S	H	H	-NH(CH <sub>2</sub> ) <sub>5</sub> NH <sub>2</sub>	-OH	OH	-OH
A012-19	H	-(CH <sub>2</sub> ) <sub>2</sub> -S	H	H	-NH(CH <sub>2</sub> ) <sub>6</sub> NH <sub>2</sub>	-OH	OH	-OH
A017-75 (B-E)	H	-CH <sub>2</sub> CO <sub>2</sub> H	H	H	-NH(CH <sub>2</sub> ) <sub>n</sub> NH <sub>2</sub> n=3-6	-OH	OH	-OH
A017-50 (A-D)	H	-CH <sub>2</sub> CO <sub>2</sub> H	H	H	-NH(CH <sub>2</sub> ) <sub>n</sub> -G n = 3-6	-OH	-OH	H
A017-21 (A-E)	-(CH <sub>2</sub> ) <sub>2</sub> CO <sub>2</sub> H	H	H	-OH	-NH(CH <sub>2</sub> ) <sub>n</sub> NH <sub>2</sub> n = 2-6	OH	-OH	H
A017-25 (A-E)	-CH <sub>2</sub> CO <sub>2</sub> H	H	H	-OH	-NH(CH <sub>2</sub> ) <sub>n</sub> NH <sub>2</sub> n = 2-6	OH	-OH	H
A024-16 (Q-U)	-(CH <sub>2</sub> ) <sub>2</sub> CO <sub>2</sub> H	H	H	-OH	-NH(CH <sub>2</sub> ) <sub>n</sub> -G n = 2-6	OH	-OH	H
A024-16(V-Z)	-CH <sub>2</sub> CO <sub>2</sub> H	H	H	-OH	-NH(CH <sub>2</sub> ) <sub>n</sub> -G n = 2-6	OH	-OH	H

Fig. 17



DOTA Based Species	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	R <sup>7</sup>	R <sup>8</sup>	R <sup>9</sup>
A011-97 (A-E)	-(CH <sub>2</sub> ) <sub>2</sub> CO <sub>2</sub> H	H	H	H	-OH	-OH	NH(CH <sub>2</sub> ) <sub>n</sub> NH <sub>2</sub> n = 2-6	-OH	H
A011-97 F	-CH <sub>2</sub> CO <sub>2</sub> H	H	H	H	-OH	-OH	NH(CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub>	-OH	H
A013-67(A-E)	-(CH <sub>2</sub> ) <sub>3</sub> CO <sub>2</sub> H	H	H	H	-OH	-OH	-NH(CH <sub>2</sub> ) <sub>n</sub> NH <sub>2</sub> n = 2-6	-OH	H
A017-79 (E-H)	-(CH <sub>2</sub> ) <sub>3</sub> CO <sub>2</sub> H	H	H	H	-OH	-OH	-NH(CH <sub>2</sub> ) <sub>n</sub> G n = 2-6	-OH	H
A016-46	-(CH <sub>2</sub> ) <sub>3</sub> CO <sub>2</sub> H	H	H	H	-OH	-OH	-NH(CH <sub>2</sub> ) <sub>n</sub> NH <sub>2</sub> n = 3-6	-OH	H
A024-16 (M-P)	-(CH <sub>2</sub> ) <sub>3</sub> CO <sub>2</sub> H	H	H	H	-OH	-OH	-NH(CH <sub>2</sub> ) <sub>n</sub> G	-OH	H
A013-77	-(CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub>	H	H	-(CH <sub>2</sub> ) <sub>3</sub> CO <sub>2</sub> H	H	-OH	OH	-OH	H
A013-79	-(CH <sub>2</sub> ) <sub>4</sub> NH <sub>2</sub>	H	H	-(CH <sub>2</sub> ) <sub>3</sub> CO <sub>2</sub> H	H	-OH	OH	-OH	H
A008-59	H	H	-(CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub>	H	-(CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub>	-OH	OH	-OH	H
A011-35	(CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub>	H	-(CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub>	H	H	-OH	-OH	-OH	H
A017-79D	-(CH <sub>2</sub> ) <sub>2</sub> -G	H	-(CH <sub>2</sub> ) <sub>2</sub> -G	H	H	-OH	OH	-OH	H
A013-19	-(CH <sub>2</sub> ) <sub>4</sub> -NH <sub>2</sub>	H	H	-(CH <sub>2</sub> ) <sub>4</sub> -NH <sub>2</sub>	H	-OH	OH	-OH	H
A017-79 (B-C)	H	H	H	H	-OH	-OH	OH	-OH	ANH(CH <sub>2</sub> ) <sub>n</sub> G n = 2, 4
A008-43	H	H	H	H	-OH	-OH	-OH	-OH	ANH(CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub>
A007-29	H	H	H	H	-OH	-OH	OH	-OH	ANH(CH <sub>2</sub> ) <sub>n</sub> NH <sub>2</sub>

Fig. 17